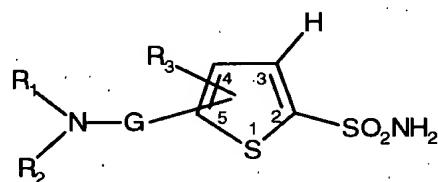


1. (Amended) A compound of the formula



or a pharmaceutically acceptable salt thereof wherein:

R_1 and R_3 together are 1-3 saturated carbon atoms joined to form a ring of from 5-7 members in which said members can be unsubstituted or substituted optionally with R_4

[R_1 is H; C_{1-4} alkyl; C_{2-4} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy or $\text{C}(=\text{O})\text{R}_7$;

R_2 is H; C_{1-8} alkyl; C_{2-8} alkyl substituted with OH, NR_5R_6 , halogen, C_{1-4} alkoxy, C_{2-4} alkoxy C_{1-4} alkoxy, $\text{OC}(=\text{O})\text{R}_7$, or $\text{C}(=\text{O})\text{R}_7$; C_{3-7} alkenyl unsubstituted or substituted optionally with OH, NR_5R_6 , or C_{1-4} alkoxy; C_{3-7} alkynyl unsubstituted or substituted optionally with $\text{C}_1\text{-C}_3$ alkyl, $\text{C}_1\text{-C}_3$ halo alkyl, OH, NR_5R_6 , or C_{1-4} alkoxy; C_{1-3} alkyl substituted with phenyl or R_{10} either of which can be unsubstituted or substituted optionally with $\text{C}_1\text{-C}_3$ alkyl, $\text{C}_1\text{-C}_3$ halo alkyl, OH, $(\text{CH}_2)_n\text{NR}_5\text{R}_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $\text{C}(=\text{O})\text{R}_7$, $\text{S}(=\text{O})_m\text{R}_8$ or $\text{SO}_2\text{NR}_5\text{R}_6$, wherein m is 0 - 2 and n is 0 - 2; C_{2-4} alkoxy substituted optionally with NR_5R_6 , halogen, C_{1-4} alkoxy, or $\text{C}(=\text{O})\text{R}_7$; phenyl or R_{10} either of which can be unsubstituted or substituted optionally with OH, $(\text{CH}_2)_n\text{NR}_5\text{R}_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $\text{C}(=\text{O})\text{R}_7$, $\text{S}(=\text{O})_m\text{R}_8$ or $\text{SO}_2\text{NR}_5\text{R}_6$, wherein m is 0 - 2 and n is 0 - 2; [provided that R_1 and R_2 cannot both be H; or R_1 and R_2 can be joined to form a saturated ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, thiazolidine 1,1 dioxide, or tetrahydrooxazine, which can be unsubstituted or substituted optionally on carbon with OH, NR_5R_6 , halogen, C_{1-4} alkoxy, $\text{C}(=\text{O})\text{R}_7$, C_{1-6} alkyl, C_{1-6} alkyl substituted optionally with

OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C(=O)R₇, or on nitrogen with NR₅R₆, C₁₋₄ alkoxy, C(=O)R₇, C₁₋₆ alkyl or C₂₋₆ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇;

R₃ is H; halogen; C₁₋₄ alkyl; C₁₋₈ alkoxy; C₁₋₈ alkylthiol; C₂₋₈ alkoxy substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₁₋₄ alkyl substituted optionally with R₄; or R₁ and R₃ can be joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms can be unsubstituted or substituted optionally with R₄;

R₄ is OH; C₁₋₄ alkyl unsubstituted or substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₁₋₄ alkoxy; C₂₋₄ alkoxy substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; NR₅R₆; phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2;

cont'd
Part 2
cont'd

[Provided that when G is SO₂ and R₃ is in the 4 position and is H or halogen then R₁ and R₂ are not H, C₁₋₆ alkyl substituted optionally with OH, C₁₋₆ alkoxy, C₂₋₆ alkoxy carbonyl, C₂₋₆ alkenyl, phenyl, phenoxy, pyridyl, tetrahydrofuryl, C₂₋₆ alkanoyl, C₂₋₆ alkenyl, nor are they joined to form a 5, 6 or 7 member ring, saturated or unsaturated, comprised of atoms selected optionally from C, O, S, N in which said nitrogen, when saturated, is substituted optionally with H or C₁₋₆ alkyl or in which said carbon is substituted optionally with C₁₋₆ alkyl, C₁₋₆ alkoxy or OH; and when R₃ is in the 5 position and is H, Cl, Br, or C₁₋₃ alkyl then neither R₁ nor R₂ can be H or C₁₋₄ alkyl; and when G is C(=O) and in the 5-position and R₃ is H, then R₁ and R₂ cannot both be CH₃;

R₅ & R₆ are the same or different and are H; C₁₋₄ alkyl; C₂₋₄ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₁₋₄ alkoxy; C₂₋₄ alkoxy substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇;

alkoxy substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₃₋₇ alkenyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₃₋₇ alkynyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₁₋₂alkylC₃₋₅cycloalkyl; C(=O)R₇ or R₅ and R₆ can be joined to form a ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, or thiazolidine 1,1-dioxide, which can be unsubstituted or substituted optionally on carbon with OH, (=O), halogen, C₁₋₄ alkoxy, C(=O)R₇, C₁₋₆ alkyl, C₁₋₆ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy, C(=O)R₇ or on nitrogen with C₁₋₄ alkoxy, C(=O)R₇, S(=O)_mR₈, C₁₋₆ alkyl or C₂₋₆ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy, C(=O)R₇ or on sulfur by (=O)_m, wherein m is 0 - 2;

Part B cont'd
R₇ is C₁₋₈ alkyl; C₁₋₈ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₉; C₁₋₄ alkoxy; C₂₋₄ alkoxy substituted optionally with OH, NR₅R₆, halogen or C₁₋₄ alkoxy; NR₅R₆; or phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with OH, halogen, C₁₋₃ alkyl, C₁₋₃ haloalkoxy, (CH₂)_nNR₅R₆, S(=O)_mR₈ or SO₂NR₅R₆, wherein n is 0 or 1 and m is 0-2;

R₈ is C₁₋₄ alkyl; C₂₋₄ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇;

R₉ is C₁₋₄ alkyl; C₁₋₄ alkoxy; amino, C₁₋₃ alkylamino, or di-C₁₋₃ alkylamino;

R₁₀ is a monocyclic ring system of 5 or 6 atoms composed of C, N, O, and/or S, such as furan, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, oxazole, isoxazole, isothiazole, thiazole, thiadiazole, pyridine, pyrimidine, pyridazine, and pyrazine; and

G is C(=O) or SO₂.

3. (Amended) The compound of Claim 2 wherein:

[R₁ is H; C₁₋₄ alkyl; C₂₋₄ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇;

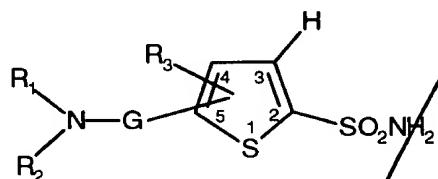
R₂ is H; C₁₋₈ alkyl; C₂₋₈ alkyl substituted with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C₂₋₄ alkoxyC₁₋₄ alkoxy, OC(=O)R₇, or C(=O)R₇; C₃₋₇ alkenyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₃₋₇ alkynyl unsubstituted or substituted optionally with C₁-C₃alkyl, C₁-C₃halo alkyl, OH, NR₅R₆, or C₁₋₄ alkoxy; C₁₋₃ alkyl substituted with phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with C₁-C₃alkyl, C₁-C₃halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; C₂₋₄ alkoxy substituted optionally with NR₅R₆, halogen, C₁₋₄ alkoxy, or C(=O)R₇; phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; [provided that R₁ and R₂ cannot both be H; or R₁ and R₂ can be joined to form a saturated ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, thiazolidine 1,1 dioxide, or tetrahydroooxazine, which can be unsubstituted or substituted optionally on carbon with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C(=O)R₇, C₁₋₆ alkyl, C₁₋₆ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C(=O)R₇ or on nitrogen with NR₅R₆, C₁₋₄ alkoxy, C(=O)R₇, C₁₋₆ alkyl or C₂₋₆ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇;

R₃ is H; halogen; C₁₋₄ alkyl; C₁₋₈ alkoxy; C₁₋₈ alkylthiol; C₂₋₈ alkoxy substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₁₋₄ alkyl substituted optionally with R₄].

4. 5. (Amended) The compound of Claim [4] 3 wherein:

A3
R₂ is [H; C₁₋₄] C₁₋₈ alkyl; [C₂₋₄] C₂₋₈ alkyl substituted with OH, NR₅R₆, halogen, C₁₋₂ alkoxy, C₂₋₄alkoxyC₁₋₄alkoxy, OC(=O)R₇, or C(=O)R₇; C₃₋₇ alkenyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; phenyl, or R₁₀ unsubstituted or substituted optionally with C₁-C₃alkyl, C₁-C₃halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; C₁₋₃ alkyl substituted with phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with C₁-C₃alkyl, C₁-C₃halo alkyl[,] OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2.

7. (Amended) A compound of the formula



A4
or a pharmaceutically acceptable salt thereof wherein:

R₁ and R₃ together are 1-3 saturated carbon atoms joined to form a ring of from 5-7 members in which said members can be unsubstituted or substituted optionally with R₄:

[R₁ is H; C₁₋₄ alkyl; C₂₋₄ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇;]

R₂ is H; C₁₋₈ alkyl; C₂₋₈ alkyl substituted with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C₂₋₄alkoxyC₁₋₄alkoxy, OC(=O)R₇, or C(=O)R₇; C₃₋₇ alkenyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₃₋₇ alkynyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₁₋₃ alkyl substituted with phenyl or R₁₀ either of which can be unsubstituted or

substituted optionally with C_1 - C_3 alkyl, C_1 - C_3 halo alkyl, OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2; C_{2-4} alkoxy substituted optionally with NR_5R_6 , halogen, C_{1-4} alkoxy, or $C(=O)R_7$; phenyl or R_{10} either of which can be unsubstituted or substituted optionally with C_1 - C_3 alkyl, C_1 - C_3 halo alkyl, OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2; [provided that R_1 and R_2 cannot both be H; or R_1 and R_2 can be joined to form a saturated ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, thiazolidine 1,1 dioxide, or tetrahydroooxazine, which can be unsubstituted or substituted optionally on carbon with OH, NR_5R_6 , halogen, C_{1-4} alkoxy, $C(=O)R_7$, C_{1-6} alkyl, C_{1-6} alkyl substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy, $C(=O)R_7$ or on nitrogen with NR_5R_6 , C_{1-4} alkoxy, $C(=O)R_7$, C_{1-6} alkyl or C_{2-6} alkyl substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$;

A4
cont'd

R_3 is H; halogen; C_{1-4} alkyl; C_{1-8} alkoxy; C_{1-8} alkylthiol; C_{2-8} alkoxy substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$; C_{1-4} alkyl substituted optionally with R_4 ; or R_1 and R_3 can be joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms can be unsubstituted or substituted optionally with R_4 ;

R_4 is OH; C_{1-4} alkyl unsubstituted or substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$; C_{1-4} alkoxy; C_{2-4} alkoxy substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$; NR_5R_6 ; phenyl or R_{10} either of which can be unsubstituted or substituted optionally with OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2;

[Provided that when G is SO_2 and R_3 is in the 4 position and is H or halogen then R_1 and R_2 are not H, C_{1-6} alkyl substituted optionally with OH, C_{1-6} alkoxy, C_{2-6} alkoxy carbonyl, C_{2-6} alkenyl, phenyl, phenoxy, pyridyl,

tetrahydrofuryl, C_{2-6} alkanoyl, C_{2-6} alkenyl, nor are they joined to form a 5, 6 or 7 member ring, saturated or unsaturated, comprised of atoms selected optionally from C, O, S, N in which said nitrogen, when saturated, is substituted optionally with H or C_{1-6} alkyl or in which said carbon is substituted optionally with C_{1-6} alkyl, C_{1-6} alkoxy or OH; and when R_3 is in the 5 position and is H, Cl, Br, or C_{1-3} alkyl then neither R_1 nor R_2 can be H or C_{1-4} alkyl; and when G is $C(=O)$ and in the 5 position and R_3 is H then R_1 and R_2 cannot both be CH_3 ;

contd

R_5 & R_6 are the same or different and are H; C_{1-4} alkyl; C_{2-4} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy or $C(=O)R_7$; C_{1-4} alkoxy; C_{2-4} alkoxy substituted optionally with OH, halogen, C_{1-4} alkoxy or $C(=O)R_7$; C_{3-7} alkenyl unsubstituted or substituted optionally with OH, NR_5R_6 , or C_{1-4} alkoxy; C_{3-7} alkynyl unsubstituted or substituted optionally with OH, NR_5R_6 , or C_{1-4} alkoxy; C_{1-2} alkyl C_{3-5} cycloalkyl; $C(=O)R_7$ or R_5 and R_6 can be joined to form a ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1-dioxide, morpholine, piperazine, thiazolidine 1,1-dioxide, or tetrahydrooxazine, which can be unsubstituted or substituted optionally on carbon with OH, $(=O)$, halogen, C_{1-4} alkoxy, $C(=O)R_7$, C_{1-6} alkyl, C_{1-6} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy, $C(=O)R_7$ or on nitrogen with C_{1-4} alkoxy, $C(=O)R_7$, $S(=O)_mR_8$, C_{1-6} alkyl or C_{2-6} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy, $C(=O)R_7$ or on sulfur by $(=O)_m$, wherein m is 0 - 2;

R_7 is C_{1-8} alkyl; C_{1-8} alkyl substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_9$; C_{1-4} alkoxy; C_{2-4} alkoxy substituted optionally with OH, NR_5R_6 , halogen or C_{1-4} alkoxy; NR_5R_6 ; or phenyl or R_{10} either of which can be unsubstituted or substituted optionally with OH, halogen, C_{1-3} alkyl, C_{1-3} haloalkoxy, $(CH_2)_nNR_5R_6$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein n is 0 or 1 and m is 0-2;

A4
cont'd

R_8 is C_{1-4} alkyl; C_{2-4} alkyl substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$;

R_9 is C_{1-4} alkyl; C_{1-4} alkoxy; amino, C_{1-3} alkylamino, or di- C_{1-3} alkylamino;

R_{10} is a monocyclic ring system of 5 or 6 atoms composed of C, N, O, and/or S, such as furan, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, oxazole, isoxazole, isothiazole, thiazole, thiadiazole, pyridine, pyrimidine, pyridazine, and pyrazine; and

G is SO_2 and $C=O$ provided that when G is $C=O$ then R_1 and R_3 are not joined together in a six member ring.

A5

9. (Amended) The compound of Claim 8 wherein:

$[R_1$ is H; C_{1-4} alkyl; or C_{2-4} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy or $C(=O)R_7$;]

R_2 is H; C_{1-8} alkyl; C_{2-8} alkyl substituted with OH, NR_5R_6 , halogen, C_{1-4} alkoxy, C_{2-4} alkoxy C_{1-4} alkoxy, $OC(=O)R_7$, or $C(=O)R_7$; C_{3-7} alkenyl unsubstituted or substituted optionally with OH, NR_5R_6 or C_{1-4} alkoxy; C_{3-7} alkynyl unsubstituted or substituted optionally with OH, NR_5R_6 , or C_{1-4} alkoxy; C_{1-3} alkyl substituted with phenyl or R_{10} which can be unsubstituted or substituted optionally with C_{1-3} alkyl, C_1-C_3 halo alkyl, OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2; C_{1-4} alkoxy substituted optionally with NR_5R_6 , halogen, C_{1-4} alkoxy, or $C(=O)R_7$; phenyl, or R_{10} unsubstituted or substituted optionally with C_1-C_3 alkyl, C_1-C_3 halo alkyl, OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0-2 and n is 0 - 2; [provided that R_1 and R_2 cannot both be H; or R_1 and R_2 can be joined to form a saturated ring of 5 or 6 atoms selected from O, S, C or N which can be unsubstituted or substituted optionally on carbon with OH, NR_5R_6 , halogen,

A5 cont'd

C_{1-4} alkoxy, $C(=O)R_7$, C_{1-6} alkyl, C_{1-6} alkyl substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy, $C(=O)R_7$ or on nitrogen with NR_5R_6 , C_{1-4} alkoxy, $C(=O)R_7$, C_{1-6} alkyl or C_{2-6} alkyl substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$;

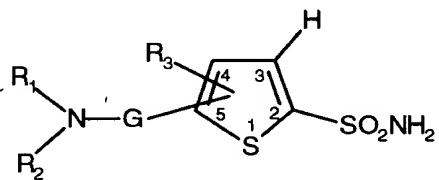
R_3 is H; halogen; C_{1-4} alkyl; C_{1-8} alkoxy, C_{1-8} alkylthiol, C_{2-8} alkoxy substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$; or C_{1-4} alkyl substituted optionally with R_4 .

11. (Amended) The compound of Claim [10] 9 wherein:

A6

R_2 is $[H; C_{1-4}]$ C_{1-8} alkyl; $[C_{2-4}]$ C_{2-8} alkyl substituted with OH, NR_5R_6 , halogen, C_{1-2} alkoxy, C_{2-4} alkoxy C_{1-4} alkoxy, $OC(=O)R_7$, or $C(=O)R_7$; C_{3-7} alkenyl unsubstituted or substituted optionally with OH, NR_5R_6 or C_{1-4} alkoxy; C_{1-3} alkyl substituted with phenyl or R_{10} group either of which can be unsubstituted or substituted optionally with C_1-C_3 alkyl, C_1-C_3 halo alkyl, OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2; phenyl or a R_{10} either of which can be unsubstituted or substituted optionally with C_1-C_3 alkyl, C_1-C_3 halo alkyl, OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2.

13. (Amended) A compound of the formula



or a pharmaceutically acceptable salt thereof wherein:

R₁ and R₃ together are 1-3 saturated carbon atoms joined to form a ring of from 5-7 members in which said members can be unsubstituted or substituted optionally with R₄:

[R₁ is H; C₁₋₄ alkyl; C₂₋₄ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇;

A7
R₂ is H; C₁₋₈ alkyl; C₂₋₈ alkyl substituted with OH, NR₅R₆, halogen, C₁₋₄ alkoxy, C₂₋₄ alkoxyC₁₋₄ alkoxy, OC(=O)R₇, or C(=O)R₇; C₃₋₇ alkenyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₃₋₇ alkynyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; C₁₋₃ alkyl substituted with phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with C₁-C₃alkyl, C₁-C₃halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; C₂₋₄ alkoxy substituted optionally with NR₅R₆, halogen, C₁₋₄ alkoxy, or C(=O)R₇; phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with C₁-C₃alkyl, C₁-C₃halo alkyl, OH, (CH₂)_nNR₅R₆, halogen, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C(=O)R₇, S(=O)_mR₈ or SO₂NR₅R₆, wherein m is 0 - 2 and n is 0 - 2; [provided that R₁ and R₂ cannot both be H;

R_3 is H; halogen; C_{1-4} alkyl; C_{1-8} alkoxy; C_{1-8} alkylthiol; C_{2-8} alkoxy substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$; C_{1-4} alkyl substituted optionally with R_4 ; or R_1 and R_3 can be joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms can be unsubstituted or substituted optionally with R_4 ;

R_4 is OH; C_{1-4} alkyl unsubstituted or substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$; C_{1-4} alkoxy; C_{2-4} alkoxy substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$; NR_5R_6 ; phenyl or R_{10} either of which can be unsubstituted or substituted optionally with OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2; [provided that when R_3 is in the 5 position and is H, Cl, Br, or C_{1-13} alkyl then neither R_1 nor R_3 can be H or C_{1-4} alkyl;]

R_5 & R_6 are the same or different and are H; C_{1-4} alkyl; C_{2-4} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy or $C(=O)R_7$; C_{1-4} alkoxy; C_{2-4} alkoxy substituted optionally with OH, halogen, C_{1-4} alkoxy or $C(=O)R_7$; C_{3-7} alkenyl unsubstituted or substituted optionally with OH, NR_5R_6 , or C_{1-4} alkoxy; C_{3-7} alkynyl unsubstituted or substituted optionally with OH, NR_5R_6 , or C_{1-4} alkoxy; C_{1-2} alkyl C_{3-5} cycloalkyl; $C(=O)R_7$ or R_5 and R_6 can be joined to form a ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, or thiazolidine 1,1-dioxide which can be unsubstituted or substituted optionally on carbon with OH, (=O), halogen, C_{1-4} alkoxy, $C(=O)R_7$, C_{1-6} alkyl, C_{1-6} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy, $C(=O)R_7$ or on nitrogen with C_{1-4} alkoxy, $C(=O)R_7$, $S(=O)_mR_8$, C_{1-6} alkyl or C_{2-6} alkyl substituted optionally with OH, halogen, C_{1-4} alkoxy, $C(=O)R_7$ or on sulfur by $(=O)_m$, wherein m is 0 - 2;

R₇ is C₁₋₈ alkyl; C₁₋₈ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇; C₁₋₄ alkoxy; C₂₋₄ alkoxy substituted optionally with OH, NR₅R₆, halogen or C₁₋₄ alkoxy; NR₅R₆; or phenyl or R₁₀ either of which can be unsubstituted or substituted optionally with OH, halogen, C₁₋₃ alkyl, C₁₋₃ haloalkoxy, (CH₂)_nNR₅R₆, S(=O)_mR₈ or SO₂NR₅R₆ wherein n is 0 or 1 and m is 0-2;

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cont'd

R₈ is C₁₋₄ alkyl; C₂₋₄ alkyl substituted optionally with OH, NR₅R₆, halogen, C₁₋₄ alkoxy or C(=O)R₇;

R₉ is C₁₋₄ alkyl; C₁₋₄ alkoxy; amino, C₁₋₃ alkylamino, or di-C₁₋₃ alkylamino;

R₁₀ is a monocyclic ring system of 5 or 6 atoms composed of C, N, O, and/or S, such as furan, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, oxazole, isoxazole, isothiazole, thiazole, thiadiazole, pyridine, pyrimidine, pyridazine, and pyrazine; and

G is SO₂.

15. (Amended) The compound of Claim 14 wherein:

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[R₁ is H; C₁₋₄ alkyl; or C₂₋₄ alkyl substituted optionally with OH, halogen, C₁₋₄ alkoxy or C(=O)R₇;

R₂ is [H; C₁₋₄] C₁₋₈ alkyl; [C₂₋₄] C₂₋₈ alkyl substituted with OH, NR₅R₆, halogen, C₁₋₂ alkoxy, C₂₋₄ alkoxyC₁₋₄ alkoxy, OC(=O)R₇, or C(=O)R₇; C₃₋₇ alkenyl unsubstituted or substituted optionally with OH, NR₅R₆, or C₁₋₄ alkoxy; phenyl, or R₁₀, unsubstituted or substituted optionally with C₁-C₃alkyl, C₁-C₃halo alkyl, OH,

cont'd

$(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2; C_{1-3} alkyl substituted with phenyl or R_{10} either of which can be unsubstituted or substituted optionally with C_1-C_3 alkyl, C_1-C_3 halo alkyl, OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2.

[R_3 is H; halogen; C_{1-4} alkyl; C_{1-8} alkoxy; C_{1-8} alkylthiol; C_{2-8} alkoxy substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$; C_{1-4} alkyl substituted optionally with R_4].

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18. (Amended) The compound of Claim [17] ~~15~~ wherein:

R_4 is OH; C_{1-4} alkoxy; C_{2-4} alkoxy substituted optionally with OH, NR_5R_6 , halogen, C_{1-4} alkoxy or $C(=O)R_7$; or NR_5R_6 ; phenyl, or R_{10} , unsubstituted or substituted optionally with OH, $(CH_2)_nNR_5R_6$, halogen, C_{1-4} alkoxy, C_{1-4} haloalkoxy, $C(=O)R_7$, $S(=O)_mR_8$ or $SO_2NR_5R_6$, wherein m is 0 - 2 and n is 0 - 2.

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19. (Amended) A compound selected from the group consisting of:

R-(+)-4-Ethylamino-3,4-dihydro-2-(3-methoxy)propyl-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide-1,1-dioxide [hydrochloride];

[(R)-4-Ethylamino-2-(4-methoxy-phenyl)-3,4-dihydro-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;]

(R)-4-Ethylamino-3,4-dihydro-2-(3-methoxy-phenyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide [hydrochloride]; *✓*

(R)-4-Ethylamino-2-(4-hydroxy-phenyl)-3,4-dihydro-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide [hydrochloride]; *✓*

(R)-4-Ethylamino-3,4-dihydro-2-(3-hydroxy-phenyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide [hydrochloride]; ✓

(R)-4-Ethylamino-3,4-dihydro-2-(4-hydroxy-phenylmethyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide [hydrochloride]; ✓

(R)-4-Ethylamino-3,4-dihydro-2-(3-methoxy-phenylmethyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide [hydrochloride]; ✓

R-(+)-3,4-Dihydro-2-(4-methoxybutyl)-4-propylamino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide [hydrochloride]; ✓

R-(+)-4-Ethylamino-3,4-dihydro-2-(4-methoxybutyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide [hydrochloride]; ✓

R-(+)-4-Ethylamino-3,4-dihydro-2-(2-methylpropyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide [hydrochloride]; ✓

R-(+)-4-Ethylamino-3,4-dihydro-2-(6-hydroxyhexyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide [hydrochloride]; ✓

R-3,4-Dihydro-2-(3-hydroxypropyl)-4-(2-methylpropyl)amino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide [hydrochloride hemihydrate.]; ✓

(R)-4-Ethylamino-3,4-dihydro-2-(3-hydroxy-phenylmethyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide; ✓

(R)-3,4-Dihydro-2-(3-methoxy-phenyl)-4-(2-methylpropyl)amino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide; ✓

(R)-3,4-Dihydro-2-(4-hydroxy-phenyl)-4-(2-methylpropyl)amino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide; ✓

e]-1,2-thiazine-6-sulfonamide 1,1 dioxide: ✓

(R)-3,4-Dihydro-2-(3-methoxy-phenyl)-4-propylamino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide: ✓

(R)-3,4-Dihydro-2-(3-hydroxy-phenyl)-4-propylamino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide: ✓

(R)-3,4-Dihydro-2-(3-hydroxy-phenyl)-4-(2-methylpropyl)amino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide: ✓

(R)-3,4-Dihydro-2-(4-methoxybutyl)-4-(2-methylpropyl)amino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide: ✓

(R)-3,4-Dihydro-2-(3-methoxypropyl)-4-(2-methylpropyl)amino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide: ✓

(R)-4-Cyclopropylmethylamino-3,4-dihydro-2-(2-propenyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide: ✓

(R)-4-Cyclopropylmethylamino-3,4-dihydro-2-(4-methoxybutyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide: ✓

(R)-4-Cyclopropylmethylamino-3,4-dihydro-2-(3-methoxypropyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide: ✓

(R)-4-Cyclopropylmethylamino-3,4-dihydro-2-propyl-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide: ✓

(R)-3,4-Dihydro-2-(2-methylpropyl)-4-(2-methylpropyl)amino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide: ✓

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cont'd

(R)-4-Cyclopropylmethylamino-3,4-dihydro-2-(2-methylpropyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide; ✓

(R)-3,4-Dihydro-4-(2-methylpropyl)amino-2-propyl-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1 dioxide; ✓

(R)-3,4-Dihydro-2-(4-hydroxybutyl)-4-(2-methylpropyl)amino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide; ✓

(R)-3,4-Dihydro-2-(4-hydroxybutyl)-4-propylamino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide. ✓

Remarks

Claims 1, 3, 5, 7, 9, 11, 13, 15, 18 and 19 have been amended; Claims 4, 10, 16 and 17 have been cancelled without prejudice. Claims 1-3, 5-9, 11-15, and 18-32 are pending.

The Examiner has restricted this case to Group I, non-fused thiophenes in Class 549 or Group II, 1,2, thiazines in Class 544. In response to the Examiner's restriction requirement, Applicants elect the invention as set forth in Group II. However, Applicants respectfully submit that in the case where R_1 and R_3 are joined, Applicants' specification and claims are directed to not only thiazines (6 membered rings), but also thienoisothiazoles (5 membered rings), and thienothiazepines (7 membered rings). 5 membered rings are taught on pages 16 and 17 of the Specification and Table 5 of U.S. Patent No. 5,240,923, the parent of this case and specifically incorporated by reference (see specification, p. 6). Teaching of 7 membered rings can be found on pages 18 and 19 of the Specification and Table 6 in the '923 patent.

Applicants request that prosecution continue with respect to claims wherein R_1 and R_3 are joined to form 5, 6, and 7 membered rings.